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Bioorganic & Medicinal Chemistry Letters, Vol: 9, Issue: 6, pp. 803-806, March 22, 1999

Title:

A new class of anti-HIV agents: synthesis and activity of conjugates of HIV protease inhibitors with a reverse transcriptase inhibitor

Authors:

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Address:

(No address specified)

Keywords:

Abstract (English):

Conjugates of HIV protease inhibitors with a reverse transcriptase inhibitor were synthesized, which expressed excellent antiviral activity compared with that of the individual components. The remarkable antiviral activity of the conjugated compounds may be due to their penetration into the cell and later splitting into two different classes of anti-HIV agents.

Publisher:

Elsevier Science

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English

Item Identifier:

S0960-894X(99)00089-X

Publication Type:

Short Communication

ISSN:

0960-894x

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Jan 25	BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 3	Jan 29	FSTA has been reloaded and moves to weekly updates
NEWS 4	Feb 01	DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS 5	Feb 19	Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 6	Mar 08	Gene Names now available in BIOSIS
NEWS 7	Mar 22	TOXLIT no longer available
NEWS 8	Mar 22	TRCTHERMO no longer available
NEWS 9	Mar 28	US Provisional Priorities searched with P in CA/CAplus and USPATFULL
NEWS 10	Mar 28	LIPINSKI/CALC added for property searching in REGISTRY
NEWS 11	Apr 02	PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 12	Apr 08	"Ask CAS" for self-help around the clock
NEWS 13	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 14	Apr 09	ZDB will be removed from STN
NEWS 15	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 16	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 17	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 18	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 19	Jun 03	New e-mail delivery for search results now available
NEWS 20	Jun 10	MEDLINE Reload
NEWS 21	Jun 10	PCTFULL has been reloaded
NEWS 22	Jul 02	FOREGE no longer contains STANDARDS file segment

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
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NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:52:34 ON 15 JUL 2002

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:52:42 ON 15 JUL 2002

STRUCTURE FILE UPDATES: 14 JUL 2002 HIGHEST RN 438526-30-8
DICTIONARY FILE UPDATES: 14 JUL 2002 HIGHEST RN 438526-30-8

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

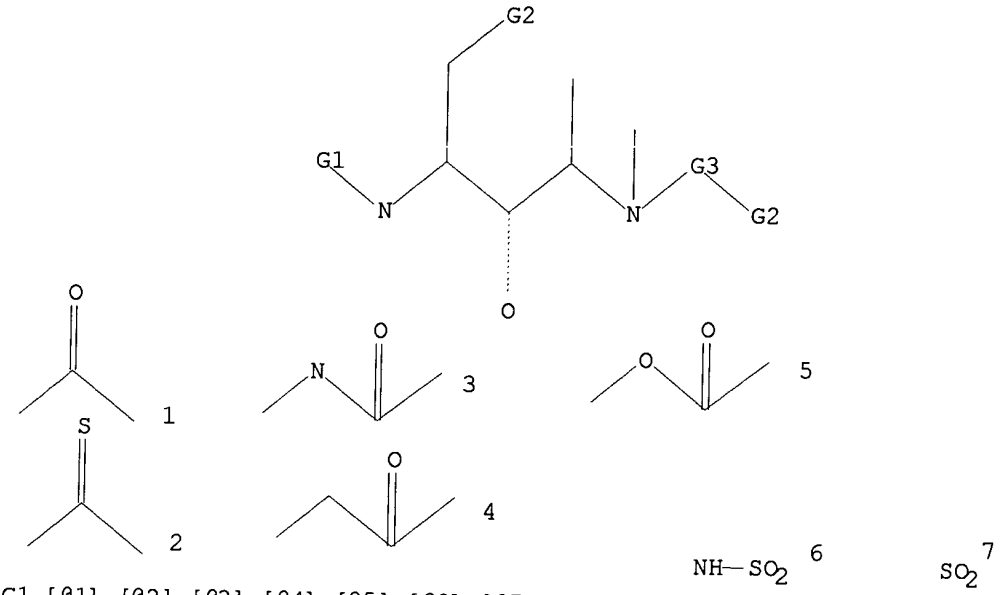
Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10007342.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 [@1],[@2],[@3],[@4],[@5],[@6],[@7]

G2 Cy,Ak

G3 [@1],[@2],[@7]

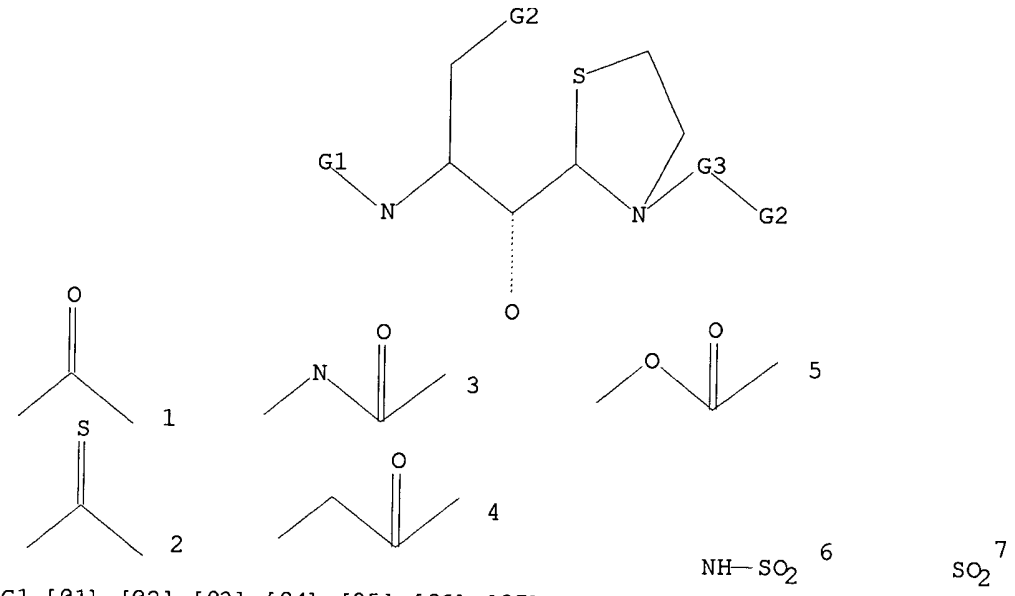
Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 08:53:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     70 TO ITERATE

100.0% PROCESSED      70 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.06

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          899 TO     1901
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 08:53:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1454 TO ITERATE

100.0% PROCESSED    1454 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.13

L3             0 SEA SSS FUL L1

=>
Uploading 10007342.str
```

Examiner Anderson 703-605-1157

L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4 STR



G1 [@1],[@2],[@3],[@4],[@5],[@6],[@7]
G2 Cy,Ak
G3 [@1],[@2],[@7]

Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 08:57:09 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s l4 full
FULL SEARCH INITIATED 08:57:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.07

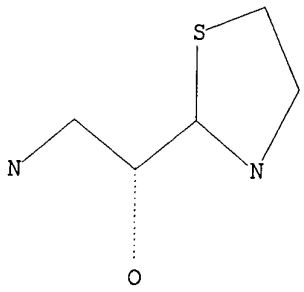
Examiner Anderson 703-605-1157

L6 0 SEA SSS FUL L4

=>
Uploading 10007342.str

L7 STRUCTURE UPLOADED

=> d
L7 HAS NO ANSWERS
L7 STR



G1
G2 Cy,Ak
G3

Structure attributes must be viewed using STN Express query preparation.

=> s l7
SAMPLE SEARCH INITIATED 09:02:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 203 TO ITERATE

100.0% PROCESSED 203 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3206 TO 4914
PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=> s l7 full
FULL SEARCH INITIATED 09:02:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3771 TO ITERATE

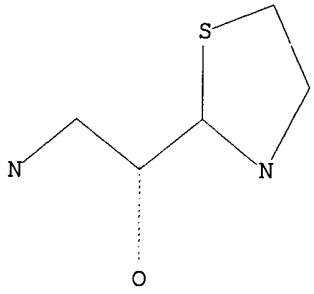
100.0% PROCESSED 3771 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.02

L9 7 SEA SSS FUL L7

=>
Uploading 10007342.str

L10 STRUCTURE UPLOADED

=> d
L10 HAS NO ANSWERS
L10 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l10 full
FULL SEARCH INITIATED 09:02:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3771 TO ITERATE

100.0% PROCESSED 3771 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.01

L11 7 SEA SSS FUL L10

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	566.06	566.27

FILE 'CAPLUS' ENTERED AT 09:02:56 ON 15 JUL 2002
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FILE COVERS 1907 - 15 Jul 2002 VOL 137 ISS 3
FILE LAST UPDATED: 14 Jul 2002 (20020714/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please

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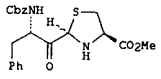
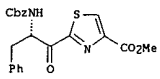
```
=> s l11
L12           2 L11

=> d ibib abs hitstr 1-2
```

L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:158985 CAPLUS
DOCUMENT NUMBER: 132:347886
TITLE: Synthesis of amino acid-derived thiazoles from enantiopure N-protected .alpha.-amino glyoxals
AUTHOR(S): Groarke, Michelle; McKervey, M. Anthony; Moncrieff, Hazel; Nieuwenhuyzen, Mark
CORPORATE SOURCE: School of Chemistry, The Queen's University, Belfast, BT9 5AG, UK
SOURCE: Tetrahedron Letters (2000), 41(8), 1279-1282
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:347886
GI


I

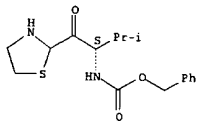

II

AB Several novel thiazoles with side chains derived from natural amino acids and a dipeptide were synthesized from N-protected .alpha.-amino glyoxals and cysteine. For example, CbzNHCH(CH2Ph)COCH:N2 was oxidized with DMD (dimethyldioxirane) in acetone to give glyoxal CbzNHCH(CH2Ph)COCHO. The glyoxal was reacted with H-Cys-OMe.cntdot.HCl in presence of KHCO3 in EtOH/H2O to give the intermediate thiazolidine I. Next, dehydrogenation of I was performed with NiO2 in CH2Cl2 to give the product thiazole II without any racemization at the amino acid center.

IT **268747-12-2P 268747-13-3P 268747-14-4P 268747-15-5P 268747-16-6P 268747-18-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of amino acid-derived thiazoles from chiral N-protected .alpha.-amino glyoxals)

RN 268747-12-2 CAPLUS
CN Carbamic acid, [(1S)-2-methyl-1-(2-thiazolidinylcarbonyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

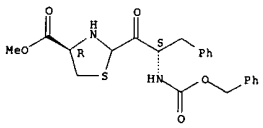
Absolute stereochemistry.



L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS (Continued)

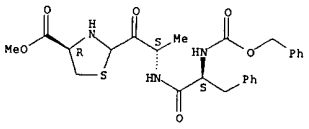
RN 268747-16-6 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 2-[(2S)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 268747-18-8 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 2-[(2S)-1-oxo-2-[[(2S)-1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]propyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

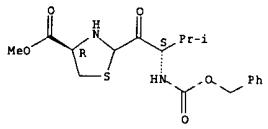


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS (Continued)

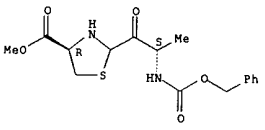
RN 268747-13-3 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 2-[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



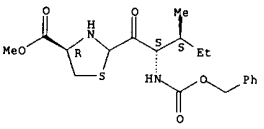
RN 268747-14-4 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 2-[(2S)-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

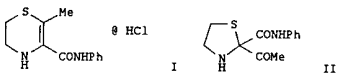


RN 268747-15-5 CAPLUS
CN 4-Thiazolidinecarboxylic acid, 2-[(2S,3S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]pentyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



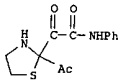
L12 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:459247 CAPLUS
DOCUMENT NUMBER: 127:161774
TITLE: Unexpected rearrangement of a dihydro-1,4-thiazine
AUTHOR(S): Mah, Heduck; Dal Nam, Kee; Hahn, Hoh-Gyu
CORPORATE SOURCE: Department of Chemistry, Kyonggi University, Suwon, 440-270, S. Korea
SOURCE: Bulletin of the Korean Chemical Society (1997), 18(6), 563-564
CODEN: BKCSDE; ISSN: 0253-2964
PUBLISHER: Korean Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI


I II

AB Autoxidn. of dihydro-1,4-thiazine hydrochloride I gave AcSCH2CH2NHCOCONHPh and thiazolidine II.

IT **193527-75-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(rearrangement of a dihydro-1,4-thiazine in autoxidn.)

RN 193527-75-2 CAPLUS
CN 2-Thiazolidineacetamide, 2-acetyl-.alpha.-oxo-N-phenyl- (9CI) (CA INDEX NAME)



=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	9.57	575.84

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.24	-1.24

FILE 'REGISTRY' ENTERED AT 09:04:20 ON 15 JUL 2002

STRUCTURE FILE UPDATES: 14 JUL 2002 HIGHEST RN 438526-30-8
DICTIONARY FILE UPDATES: 14 JUL 2002 HIGHEST RN 438526-30-8

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

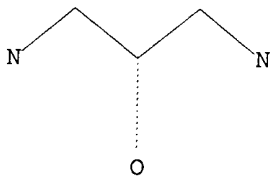
Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10007342.str

L13 STRUCTURE UPLOADED

=> d
L13 HAS NO ANSWERS
L13 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l13
SAMPLE SEARCH INITIATED 09:04:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 14481 TO ITERATE

6.9% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 282425 TO 296815
PROJECTED ANSWERS: 38407 TO 43845

L14 50 SEA SSS SAM L13

=> s l13 full
FULL SEARCH INITIATED 09:04:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 285563 TO ITERATE

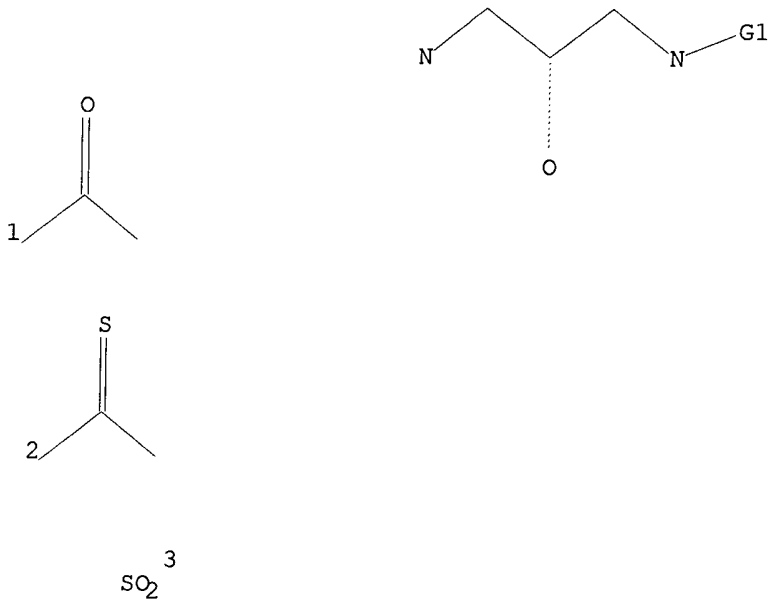
100.0% PROCESSED 285563 ITERATIONS 40666 ANSWERS
SEARCH TIME: 00.00.06

L15 40666 SEA SSS FUL L13

=>
Uploading 10007342.str

L16 STRUCTURE UPLOADED

=> d
L16 HAS NO ANSWERS
L16 STR



G1 [@1],[@2],[@3]

Structure attributes must be viewed using STN Express query preparation.

=> s l16 subset=l15 full
FULL SUBSET SEARCH INITIATED 09:06:47 FILE 'REGISTRY'

FULL SUBSET SCREEN SEARCH COMPLETED - 16882 TO ITERATE

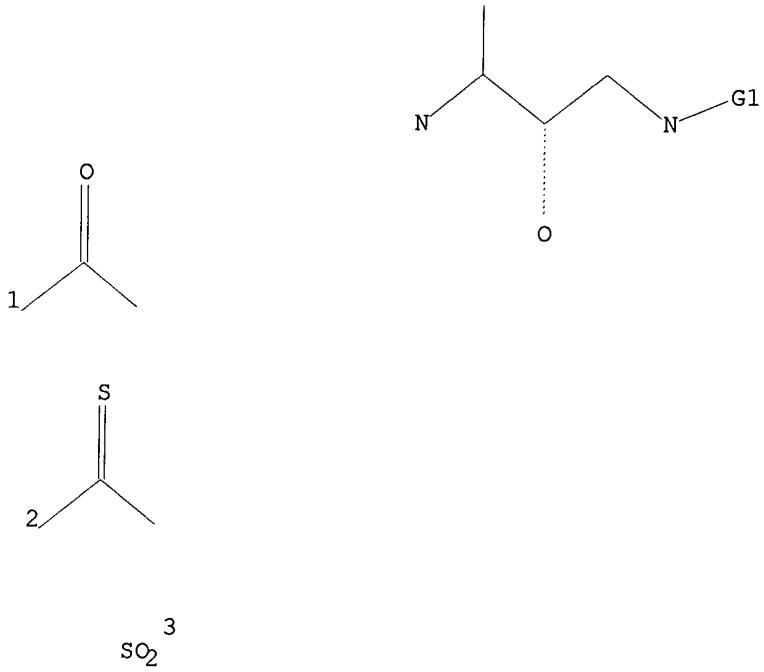
100.0% PROCESSED 16882 ITERATIONS 3479 ANSWERS
SEARCH TIME: 00.00.03

L17 3479 SEA SUB=L15 SSS FUL L16

=>
Uploading 10007342.str

L18 STRUCTURE UPLOADED

=> d
L18 HAS NO ANSWERS
L18 STR



G1 [@1],[@2],[@3]

Structure attributes must be viewed using STN Express query preparation.

=> s l18 subset=l17 full
FULL SUBSET SEARCH INITIATED 09:07:34 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 3039 TO ITERATE

100.0% PROCESSED 3039 ITERATIONS 2849 ANSWERS
SEARCH TIME: 00.00.02

L19 2849 SEA SUB=L17 SSS FUL L18

```
=>
Uploading 10007342.str

L20     STRUCTURE UPLOADED

=> d
L20 HAS NO ANSWERS
L20             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l20 subset=l19 full
FULL SUBSET SEARCH INITIATED 09:09:11 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -   2849 TO ITERATE

100.0% PROCESSED    2849 ITERATIONS                          2666 ANSWERS
SEARCH TIME: 00.00.05

L21        2666 SEA SUB=L19 SSS FUL L20

=>
Uploading 10007342.str

L22     STRUCTURE UPLOADED

=> d
L22 HAS NO ANSWERS
L22             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l22 subset=l21 full
FULL SUBSET SEARCH INITIATED 09:12:18 FILE 'REGISTRY'
SCREENING
FULL SUBSET SCREEN SEARCH COMPLETED -   2666 TO ITERATE

100.0% PROCESSED    2666 ITERATIONS                             9 ANSWERS
SEARCH TIME: 00.00.21

L23           9 SEA SUB=L21 SSS FUL L22

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              277.42     853.26

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                                0.00      -1.24
```

FILE 'CAPLUS' ENTERED AT 09:12:53 ON 15 JUL 2002
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 15 Jul 2002 VOL 137 ISS 3
FILE LAST UPDATED: 14 Jul 2002 (20020714/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l23
L24 6 L23

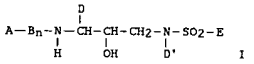
=> d ibib abs hitstr 1-6

L24 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:502547 CAPLUS
DOCUMENT NUMBER: 129:136097
TITLE: Preparation of heterocyclic sulfonamide inhibitors of aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: U.S., 87 pp., Cont.-in-part of U.S. 5,585,397.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5783701	A	19980721	US 1995-393460	19950223
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5585397	A	19961217	US 1993-142327	19931124
US 5723490	A	19980303	US 1995-424819	19950419
US 5977137	A	19991102	US 1998-115394	19980714
US 6392046	B1	20020521	US 1999-409808	19990930

PRIORITY APPLN. INFO.:
US 1992-941982 B2 19920908
US 1993-142327 A2 19931124
EP 1993-921428 A3 19930907
WO 1993-US8458 W 19930907
US 1995-393460 B2 19950223
US 1998-115394 A3 19980714

OTHER SOURCE(S): MARPAT 129:136097
GI



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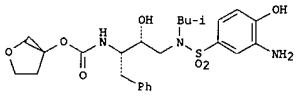


II

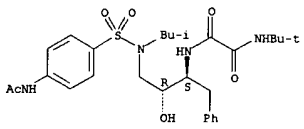
AB The title compds. I [A = H, -Ht, -R1Ht, (un)substituted -R1-alk(en)yl; R1 = CO, SO2, COCO, OCO, OSO2, NR2SO2, NR2CO, NR2COCO; Ht = (un)substituted cycloalk(en)yl, aryl, (benzo)heterocyclyl; R2 = H, alkyl, -alkyl-R7; B = NR2C(R3)2CO; n = 0, 1; R3 = (un)substituted alk(en)yl or cycloalk(en)yl; n = 1, 2; D, D' = R7, (un)substituted alk(en)yl or cycloalk(en)yl; R7 =

L24 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)
(un)substituted Ph, carbocyclyl, or heterocyclyl; E = Ht, -O-Ht, -Ht-Ht, OR3, NR2R3, (un)substituted alk(en)yl or carbocyclyl; R4 = OR2, CONHR2, SO2NHR2, halo, NR2COR2, cyano] are prepd. as inhibitors of HIV aspartyl protease. The invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity. The invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the invention compds., and to methods for screening compds. for anti-HIV activity. Prepns. of almost 200 compds. are described, and some of these plus addnl. compds. are claimed. Some of the compds., e.g., II, inhibit HIV replication (IC90) in CCRM-CEM cells in vitro at concns. of .ltoreq. 100 nM.

IT **160230-49-9P 160230-75-1P 160230-76-2P**
160230-78-4P 210537-81-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic sulfonamide derivs. as inhibitors of HIV aspartyl protease)

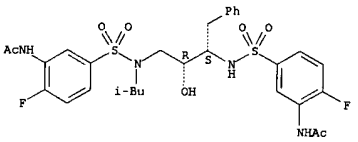
RN 160230-49-9 CAPLUS
CN Ethanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-75-1 CAPLUS
CN Acetamide, N-[5-[[[(2R,3S)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-fluorophenyl]- (9CI) (CA INDEX NAME)

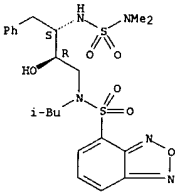
Absolute stereochemistry.



L24 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

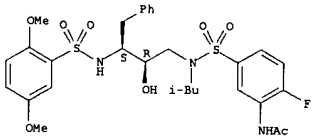
RN 160230-76-2 CAPLUS
CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[(2R,3S)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxy-4-phenylbutyl]-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-78-4 CAPLUS
CN Acetamide, N-[5-[[[(2R,3S)-3-[[(2,5-dimethoxyphenyl)sulfonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-fluorophenyl]- (9CI) (CA INDEX NAME)

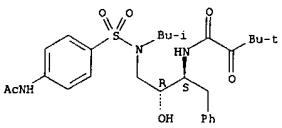
Absolute stereochemistry.



RN 210537-81-8 CAPLUS
CN Butanamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3,3-dimethyl-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L24 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



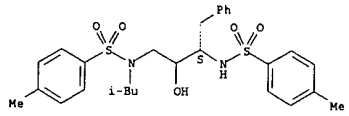
L24 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:324829 CAPLUS
DOCUMENT NUMBER: 126:343148
TITLE: Chemical Library Purification Strategies Based on Principles of Complementary Molecular Reactivity and Molecular Recognition
AUTHOR(S): Flynn, Daniel L.; Crich, Joyce Z.; Devraj, Rajesh V.; Hockerman, Susan L.; Parlow, John J.; South, Michael S.; Woodard, Scott
CORPORATE SOURCE: Section of Parallel Medicinal and Combinatorial Chemistry, Searle Discovery Research, St. Louis, MO, 63167, USA
SOURCE: Journal of the American Chemical Society (1997), 119(21), 4874-4881
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new methodol. for soln.-phase chem. library synthesis and purifn. is described. This approach applies fundamental properties of complementary mol. reactivity and recognition (CMR/R) as the basis for a general purifn. strategy. Specifically, parallel soln.-phase reactions are purified by resins contg. mol. recognition or mol. reactivity functionalities complementary to those of soln.-phase reactants, reagents, and byproducts. When used in sequential or simultaneous combinations, various CMR/R resins remove excess reactants, reagents, and byproducts from soln.-phase reaction products, which are isolated in purified form by filtration. Where reactions involve the need to remove byproducts or reagents that do not inherently contain sequestrable functionality, sequestration can be effected by the design and use of tagged reactants or reagents contg. artificially imparted mol. recognition functionality. An extension of this methodol. utilizes CMR/R resins as the "quench phase" instead of a liq.-phase workup commonly used in other library purifn. strategies. Hence, the essential features of complementary mol. reactivity or mol. recognition required for reaction workup are expressed on resins. The CMR/R library purifn. strategy is general and highly amenable to automation. Examples are illustrated with amine acylations, the Moffatt oxidn., and the reaction of organometallics with carbonyl compds.

IT **190060-06-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(chem. library purifn. strategies based on principles of complementary mol. reactivity and mol. recognition)
RN 190060-06-1 CAPLUS
CN Benzenesulfonamide, N-[2-hydroxy-3-[[(4-methylphenyl)sulfonyl]amino]-4-phenylbutyl]-4-methyl-N-(2-methylpropyl)-, (3S)-[partial]- (9CI) (CA INDEX NAME)

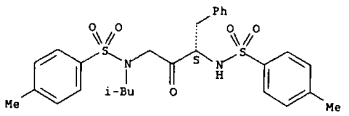
Absolute stereochemistry.

L24 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **190060-12-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(chem. library purifn. strategies based on principles of complementary mol. reactivity and mol. recognition)
RN 190060-12-9 CAPLUS
CN Benzenesulfonamide, 4-methyl-N-[3-[[(4-methylphenyl)sulfonyl]amino]-2-oxo-4-phenylbutyl]-N-(2-methylpropyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

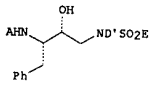


L24 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:9928 CAPLUS
DOCUMENT NUMBER: 126:144117
TITLE: Preparation of sulfonamide inhibitors of aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda R.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: U.S., 87 pp., Cont.-in-part of U.S. Ser. No. 941,982,abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5585397	A	19961217	US 1993-142327	19931124
WO 9405639	A1	19940317	WO 1993-US8458	19930907
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5783701	A	19980721	US 1995-393460	19950223
US 5723490	A	19980303	US 1995-424819	19950419
US 5856353	A	19990105	US 1995-477937	19950607
US 6372778	B1	20020416	US 1995-484326	19950607
US 5977137	A	19991102	US 1998-115394	19980714
US 6004957	A	19991221	US 1998-121008	19980722
US 6392046	B1	20020521	US 1999-409808	19990930

PRIORITY APPLN. INFO.: US 1992-941982 B2 19920908
WO 1993-US8458 W 19930907
EP 1993-921428 A3 19930907
US 1993-142327 A2 19931124
US 1995-393460 B2 19950223
US 1995-484326 A3 19950607
US 1998-115394 A3 19980714

OTHER SOURCE(S): MARPAT 126:144117
GI



I

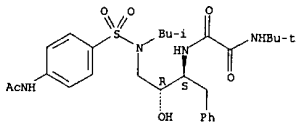
AB The title compds. I [A = 3-tetrahydrofuryloxycarbonyl; D' = (un)substituted alkyl; E = (un)substituted aryl] are prepd. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly

L24 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as antiviral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compds. of this invention and methods for screening compds. for anti-HIV activity. The title compds. inhibit HIV replication at concn. of .ltoreq. 100 nM.

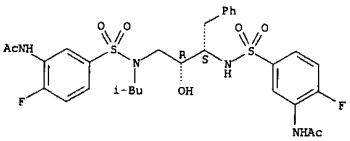
IT **160230-49-9P 160230-75-1P 160230-76-2P 160230-78-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamide inhibitors of aspartyl protease)
RN 160230-49-9 CAPLUS
CN Ethanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-75-1 CAPLUS
CN Acetamide, N-[5-[[[(2R,3S)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-fluorophenyl]- (9CI) (CA INDEX NAME)

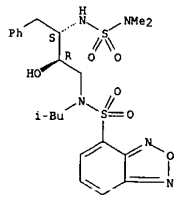
Absolute stereochemistry.



RN 160230-76-2 CAPLUS
CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[(2R,3S)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxy-4-phenylbutyl]-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

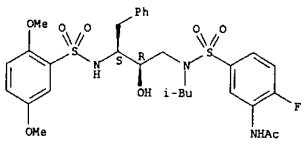
Absolute stereochemistry.

L24 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 160230-78-4 CAPLUS
CN Acetamide, N-[5-[[[(2R,3S)-3-[[(2,5-dimethoxyphenyl)sulfonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-fluorophenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



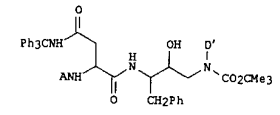
L24 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:293723 CAPLUS
DOCUMENT NUMBER: 122:81141
TITLE: Preparation of heterocyclylarylsulfonamide inhibitors of HIV-aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9405639	A1	19940317	WO 1993-US8458	19930907
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
LT 3302	B	19950626	LT 1993-917	19930901
EP 659181	A1	19950628	EP 1993-921428	19930907
EP 659181	B1	19990407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08501299	T2	19960213	JP 1993-507525	19930907
JP 3012002	B2	20000221		
HU 71892	A2	19960228	HU 1995-685	19930907
AU 691160	B2	19980514	AU 1993-48520	19930907
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 178598	E	19990415	AT 1993-921428	19930907
ES 2131589	T3	19990801	ES 1993-921428	19930907
RU 2135496	C1	19990827	RU 1995-109928	19930907
JP 3012002	B2	20000221	JP 1994-507525	19930907
SK 281360	B6	20010212	SK 1995-293	19930907
CZ 289475	B6	20020116	CZ 1995-587	19930907
CN 1087347	A	19940601	CN 1993-117370	19930908
CN 1061339	B	20010131		
ZA 9308470	A	19940620	ZA 1993-8470	19931112
US 5585397	A	19961217	US 1993-142327	19931124
FI 9501059	A	19950418	FI 1995-1059	19950307
NO 9500876	A	19950508	NO 1995-876	19950307

PRIORITY APPLN. INFO.: US 1992-941982 A2 19920908
EP 1993-921428 A3 19930907
WO 1993-US8458 W 19930907

OTHER SOURCE(S): MARPAT 122:81141
GI

L24 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



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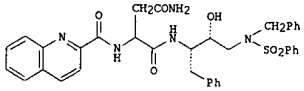


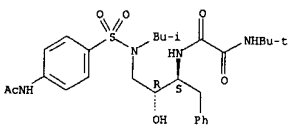
II

AB Title compds. A(B)xNHCH(D)CH(OH)CH2N(D')SO2E (A = H, Het, R1-Het, (substituted)R1-C1-6 alkyl, (substituted) R1-C2-6 alkenyl wherein R1 = CO, SO2, COCO, O2C, etc., Het = C5-7 cycloalkyl, C5-7 cycloalkenyl, C6-10 aryl, (substituted) 5-7-membered heterocyclyl; R2 = H, (Ar)-C1-3 alkyl; B = NR2CR3CO, null wherein R3 = H, (substituted)Het or C1-6 alkyl or C2-6 alkenyl or C3-6 cycloalkyl or C5-6 cycloalkenyl; x = 0,1; D, D' = Ar, (substituted) C1-4 alkyl wherein Ar = Ph, (substituted) 3-6-membered carbocyclyl or 5-6-membered heterocyclyl; E = Het-O, Het-Het, (substituted) C1-6 alkyl or C2-6 alkenyl, C3-6 carbocyclyl) useful also against viral infection of HIV-2, HIV-2, or HTLV, are prepd. 4,3-(AcNH)FC6H3SO2Cl and syn-I (A = quinolin-2-ylcarbonyl, D' = Me2CHCH2) (prepn. given) in CH2Cl2 was treated with F3CCO2H followed by NaHCO3 and 4-FC6H4SO2Cl to give the title compd. II which inhibited HIV-1 protease with IC50 of <0.1 nM.

IT **160230-49-9P 160230-75-1P 160230-76-2P 160230-78-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of as HIV-1 protease inhibitor)

RN 160230-49-9 CAPLUS
CN Ethanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

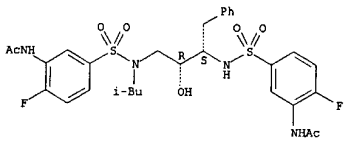
Absolute stereochemistry.



RN 160230-75-1 CAPLUS
CN Acetamide, N-[5-[[[(2R,3S)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl]amino]-2-hydroxy-4-phenylbutyl](2-

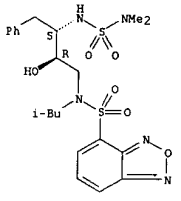
L24 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)
methylpropyl)amino]sulfonyl]-2-fluorophenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



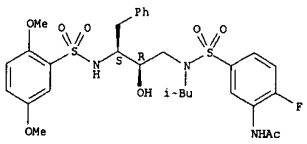
RN 160230-76-2 CAPLUS
CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[(2R,3S)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxy-4-phenylbutyl]-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-78-4 CAPLUS
CN Acetamide, N-[5-[[[(2R,3S)-3-[[(2,5-dimethoxyphenyl)sulfonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-fluorophenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

L24 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:531563 CAPLUS
DOCUMENT NUMBER: 117:131563
TITLE: Preparation of hydroxydiaminoalkanes and amino acid and peptide derivatives thereof as retroviral protease inhibitors
INVENTOR(S): Dreyer, Geoffrey Bainbridge; Boehm, Jeffrey Charles; Chenera, Balan
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9200750	A1	19920123	WO 1991-US4757	19910703
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2086414	AA	19910703	CA 1991-2086414	19910703
AU 9182334	A1	19920204	AU 1991-82334	19910703
EP 538366	A1	19930428	EP 1991-913291	19910703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05508855	T2	19931209	JP 1991-512663	19910703
ZA 9105269	A	19920826	ZA 1991-5269	19910708

PRIORITY APPLN. INFO.: US 1990-549457 19900706
WO 1991-US4757 19910703
OTHER SOURCE(S): MARPAT 117:131563
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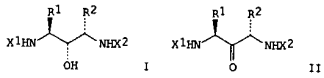
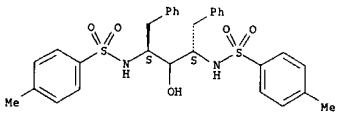


AB Title compds. [I and II; X1, X2 = ABn; n = 0-2; A = H, Ph3C, CHO, (substituted) alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, etc.; B = Ala, Asn, Cys, Trp, Gly, Gln, Ile, Leu, Met, Phe, Pro, Ser, Thr, Val, His, trifluoroalanyl; R1, R2 = CH2R12, H, cycloalkyl, (Cl, F, or HO-substituted) alkyl; R12 = NHA, R5(R6R7C)m, R8S(O)n, (substituted) amino, imidazolyl, N-benzimidazolyl, alkynyl, alkenyl, azetidinyl, pyrrolidinyl, piperidinyl, morpholinyl, etc.; R5-7 = H, Cl, F, OH, alkoxy (substituted) alkyl, Ph, naphthyl, heterocycle, or 2 of R5-7 may form a ring system; R8 = pyridyl, furyl, benzisoxazolyl, etc.] were prepd. Thus, D-arabitol in pyridine was treated with p-toluenesulfonyl chloride at ice temp.-room temp. to give 74% ditosylate, which was treated with NaH and then PhCH2Br in THF to give (2R,4R)-1,2,4,5-dianhydro-3-benzyloxyarabitol. The latter was treated with CuI/PhLi in THF at -78.degree. to -50.degree. to give 82% (2R, 4R)-1,5-diphenyl-3-benzyloxy-2,4-dihydroxypentane. This was treated with MeSO2Cl in pyridine at 0.degree.-room temp. to give the

L24 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)
dimesylate, which was treated with NaN3 in Me2SO to give a mixt. of (2S,4S)-1,5-diphenyl-3-benzyloxy-4-azido-1-pentene and (2S,4S)-1,5-diphenyl-3-benzyloxy-2,4-diazidopentane. The mixt. was reduced with LiAlH4 in THF at 0.degree.-room temp. to give (2S,4S)-1,5-diphenyl-3-benzyloxy-2,4-diaminopentane. This was hydrogenolyzed in MeOH/conc. HCl over Pd/C and the product was coupled with Cbz-Val-OH using N-methylmorpholine and iso-Bu chloroformate to give (2S,4S)-1,5-diphenyl-3-hydroxy-2,4-bis(benzyloxycarbonylaminovalinylamino) pentane. The latter inhibited rHIV-1 protease with IC50 = 0.123 .mu.m.
IT **142286-72-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as retroviral protease inhibitor)
RN 142286-72-4 CAPLUS
CN Benzenesulfonamide, N,N'-[2-hydroxy-1,3-bis(phenylmethyl)-1,3-propanediyl]bis[4-methyl-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:450304 CAPLUS
DOCUMENT NUMBER: 115:50304
TITLE: Preparation of amino acid and peptide derivatives and related compounds as retroviral protease inhibitors
INVENTOR(S): Kempf, Dale J.; Norbeck, Daniel W.; Erickson, John W.; Codacovi, Lynn M.; Sham, Hing Leung; Plattner, Jacob J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: Eur. Pat. Appl., 193 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 402646	A1	19901219	EP 1990-109319	19900517
EP 402646	B1	19980722		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5142056	A	19920825	US 1990-518730	19900509
EP 839798	A2	19980506	EP 1997-119700	19900517
EP 839798	A3	19981028		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 168677	E	19980815	AT 1990-109319	19900517
ES 2119737	T3	19981016	ES 1990-109319	19900517
AU 9055711	A1	19901129	AU 1990-55711	19900518
AU 645493	B2	19940120		
IL 94444	A1	19990312	IL 1990-94444	19900520
CA 2017252	AA	19901123	CA 1990-2017252	19900522
JP 03128335	A2	19910531	JP 1990-133684	19900523
JP 2963910	B2	19991018		
US 5354866	A	19941011	US 1993-121673	19930914
US 5541334	A	19960730	US 1995-409380	19950323
US 5597926	A	19970128	US 1995-409767	19950323
US 5670675	A	19970923	US 1995-409365	19950323
US 5616714	A	19970401	US 1995-410260	19950324
US 5648497	A	19970715	US 1995-410623	19950324
US 5837873	A	19981117	US 1995-410162	19950324
US 5539122	A	19960723	US 1995-410996	19950327
US 5552558	A	19960903	US 1995-411032	19950327
US 5696270	A	19971209	US 1995-411140	19950327
US 5580984	A	19961203	US 1995-412253	19950328
US 5679797	A	19971021	US 1995-412244	19950328
US 5583232	A	19961210	US 1995-412821	19950329
US 5597927	A	19970128	US 1995-412438	19950329
US 5674882	A	19971007	US 1995-413136	19950329
US 5583233	A	19961210	US 1995-413290	19950330
US 5625072	A	19970429	US 1995-415827	19950403
US 5591860	A	19970107	US 1995-416272	19950404
US 5597928	A	19970128	US 1995-416607	19950404
US 5608072	A	19970304	US 1995-416259	19950404
US 5565418	A	19961015	US 1995-417304	19950405
US 5659044	A	19970819	US 1995-417165	19950405
US 5659045	A	19970819	US 1995-417295	19950405
US 5616720	A	19970401	US 1995-418056	19950406
US 5635523	A	19970603	US 1995-417879	19950406
US 5892052	A	19990406	US 1995-418031	19950406
US 5554783	A	19960910	US 1995-418978	19950407

L24 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

US 5541206 A 19960730 US 1995-423387 19950425

PRIORITY APPLN. INFO.:

US 1989-355945 A 19890523
US 1989-405604 A 19890908
US 1989-456124 A 19891222
US 1990-518730 A 19900509
US 1983-355945 B2 19830523
EP 1990-109319 A3 19900517
US 1990-616170 B2 19901120
US 1991-746020 B2 19910815
US 1991-777626 A1 19911023
US 1992-880729 B1 19920508
US 1992-998114 B2 19921229
US 1993-164979 B1 19930207
US 1993-121673 A3 19930914
US 1993-155557 B3 19931202
US 1994-270210 A3 19940823
US 1994-358648 A3 19941219

OTHER SOURCE(S): MARPAT 115:50304

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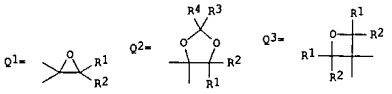


AB A-X-B [A,B = substituted amino, carbonyl, imino, alkyl, acyl, heterocyclyl, heterocyclylalkyl; X = CO, CHNR1R2, CHNHOR1, C(OH)CO2H, CH(OH), P(O)H, NOR1, SO, SO2, CH(OH)CHSH, CHSH, CH2SO2CH2, P(O)OR1, CH2SOCH2, Q1, Q2, Q3, etc.; R1,R2 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R3,R4 = H, alkyl, alkoxyalkyl], were prepd. Thus, (2S,3R,4S,5S)-2,5-diamino-3,4-dihydroxy-1,6-diphenylhexane (prepn. given) in dioxane was treated with N-[(benzyloxycarbonylvalyl)oxy]succinimide (prepn. given) to give (2S,3R,4S,5S)-2,5-bis[(benzyloxycarbonylvalyl)amino]-3,4-dihydroxy-1,6-diphenylhexane. The latter inhibited HIV-1IB in H9 cells with IC50 = 0.015-0.027 .mu.M.

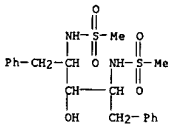
IT **134804-73-2P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as retroviral protease inhibitor)

RN 134804-73-2 CAPLUS

CN Pentitol, 1,2,4,5-tetradeoxy-2,4-bis[(methylsulfonyl)amino]-1,5-diphenyl-(9CI) (CA INDEX NAME)

L24 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.73	879.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.72	-4.96

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